

10/550,039B 8-4-06
FD 3/16/2004
PRD 3/20/2003

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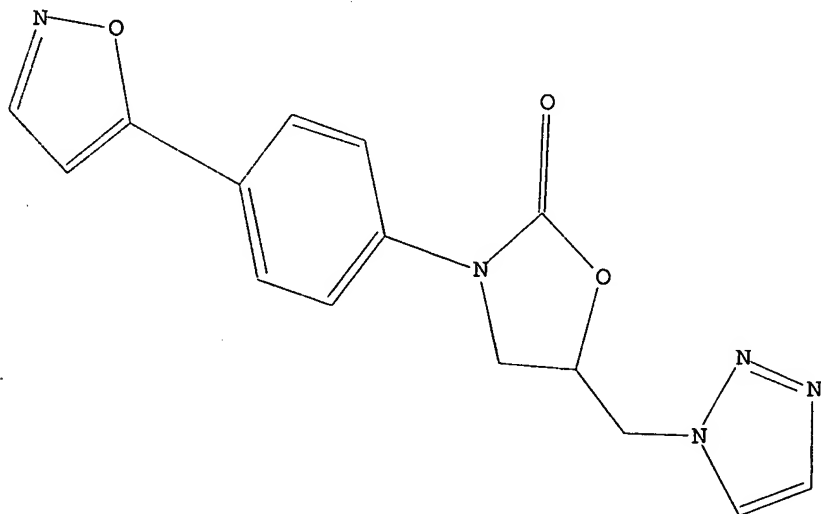
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:10:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

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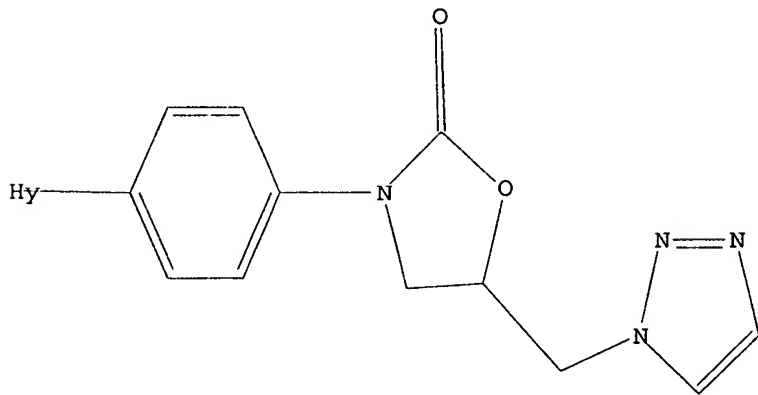
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Uploading C:\Documents and Settings\ychu\Desktop\Case\10550039\10550039b.str

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 14:12:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 67 TO ITERATE

100.0% PROCESSED 67 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 849 TO 1831
PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s l4 full
FULL SEARCH INITIATED 14:12:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1439 TO ITERATE

100.0% PROCESSED 1439 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L6 55 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 168.70 168.91

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=> s l6
L7 9 L6

=> d ibib abs hitstr tot

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:409511 CAPLUS
DOCUMENT NUMBER: 142:463731
TITLE: A preparation of novel oxazolidinone derivatives,
useful as antibacterial agents
INVENTOR(S): Kang, Jae-Hoon; Park, Chun-Ho; Kwon, Jin-Sun
PATENT ASSIGNEE(S): Il-Dong Pharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042523	A1	20050512	WO 2004-KR2805	20041103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK,
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
 NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
 SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

PRIORITY APPLN. INFO.:

KR 2003-77372

A 20031103

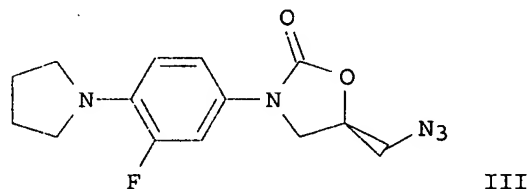
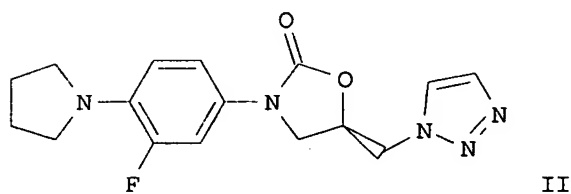
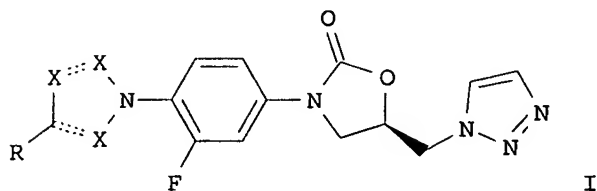
KR 2004-82328

A 20041014

OTHER SOURCE(S):

CASREACT 142:463731; MARPAT 142:463731

GI



AB The invention relates to a prepn. of novel oxazolidinone derivs. of formula I (R is H, amide, aldehyde, or nitrile, etc.; each X is independently N or CH), useful as antibacterial agents. For instance, oxazolidinone deriv. II [MIC (.mu.g/mL): str. pyogenes 77A - 0.4, s. aureus 285 - 0.8, MRSA 2 - 1.6; LD50 >5000 mg/kg] was prepd. via 1,3-dipolar cycloaddn. of vinyl acetate to (azidomethyl)oxazolidinone deriv. III with a yield of 74%.

IT 851529-97-0P 851529-98-1P

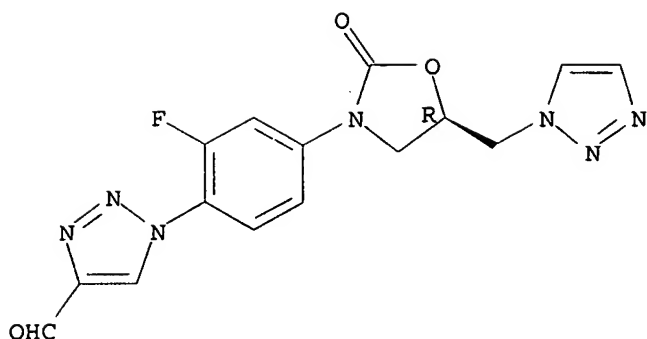
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-97-0 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

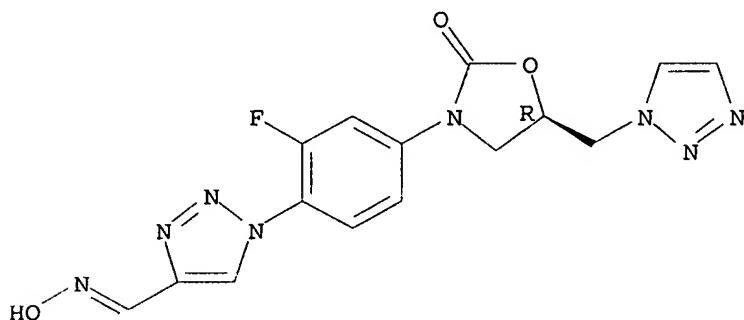
Absolute stereochemistry.



RN 851529-98-1 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



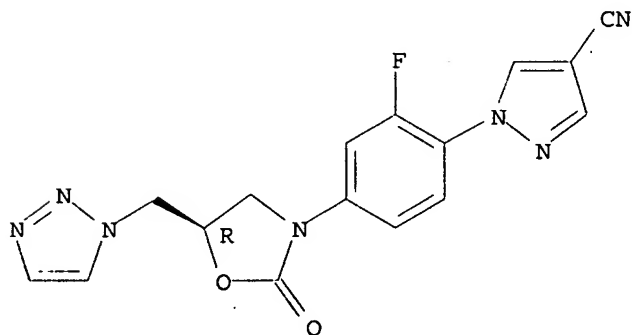
IT 851530-02-4P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851530-02-4 CAPLUS

CN 1H-Pyrazole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 851529-96-9P 851530-00-2P 851530-01-3P

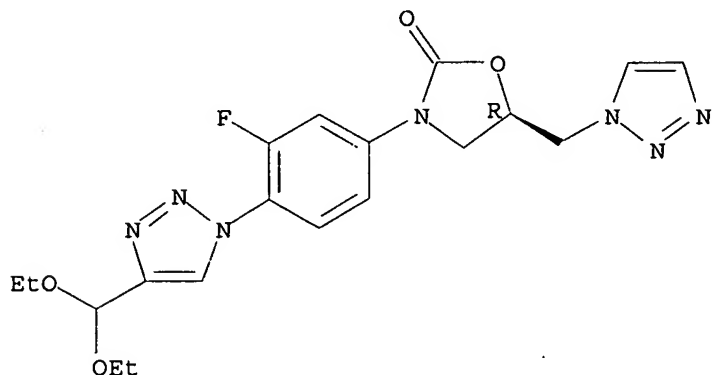
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-96-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[4-(diethoxymethyl)-1H-1,2,3-triazol-1-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

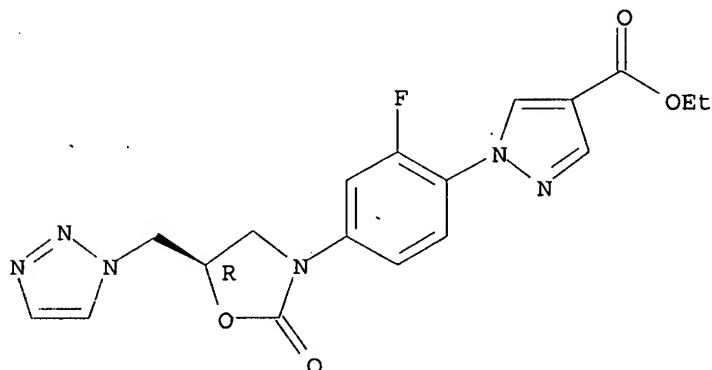
Absolute stereochemistry.



RN 851530-00-2 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

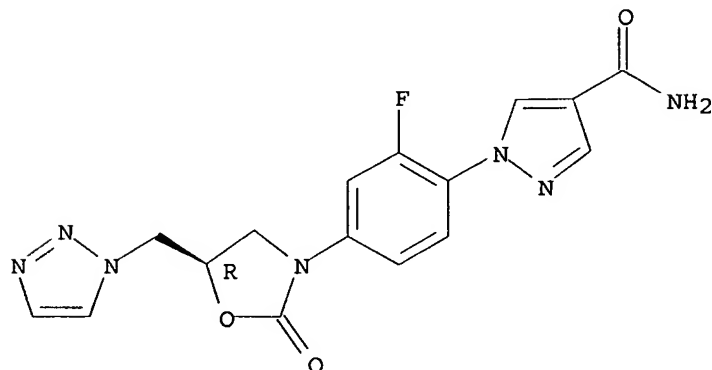
Absolute stereochemistry.



RN 851530-01-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 851529-85-6P 851529-86-7P 851529-99-2P

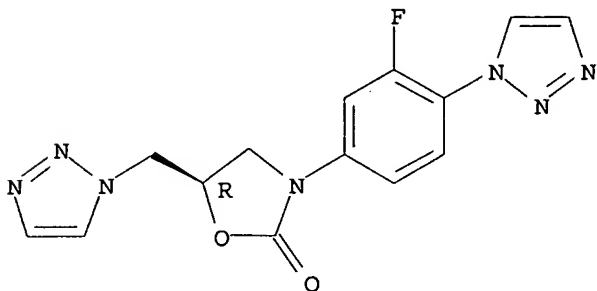
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-85-6 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-1,2,3-triazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

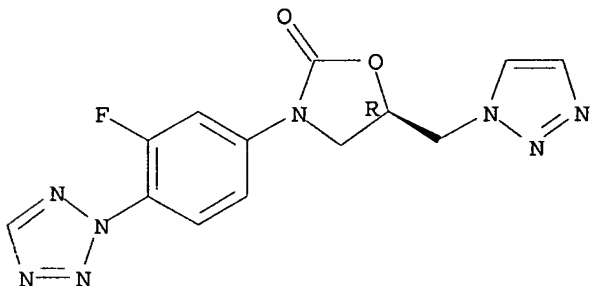
Absolute stereochemistry.



RN 851529-86-7 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(2H-tetrazol-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

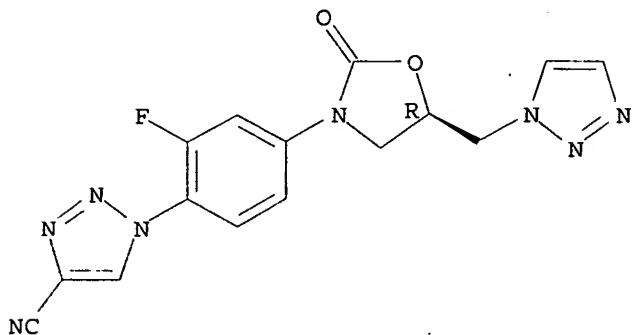
Absolute stereochemistry.



RN 851529-99-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:799584 CAPLUS

DOCUMENT NUMBER: 141:296028

TITLE: Preparation of azolylmethyloxazolidinones as antibacterials.

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

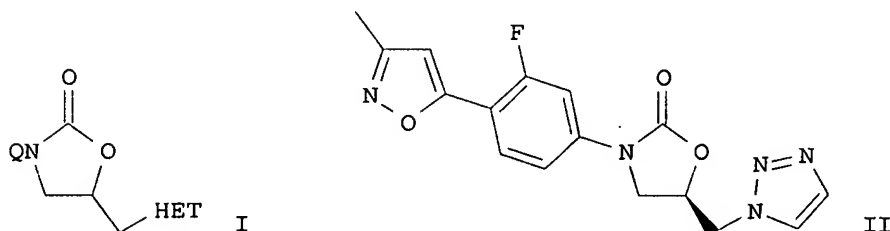
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083206	A1	20040930	WO 2004-GB1132	20040316
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1603903	A1	20051214	EP 2004-720909	20040316
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
US 2006079695	A1	20060413	US 2005-550038	20050921
PRIORITY APPLN. INFO.:			GB 2003-6357	A 20030320
			WO 2004-GB1132	W 20040316
OTHER SOURCE(S):	MARPAT 141:296028			
GI				



AB Title compds. [I; HET = pyrazolyl, imidazolyl, triazolyl, tetrazolyl; Q = (substituted) azolyphenyl, azolypyridinyl, azolyloxazolyl, azolythiazolyl, etc.], were prepd. Thus, (R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (prepn. given), (PPh₃)₂PdCl₂, and 5-tributylstannyl-3-methylisoxazole were heated together at 100.degree. in dioxane for 16 h to give title compd. (II). II showed a min. inhibitory concn. of 1 .mu.g/mL against Staphylococcus aureus MSQS (methicillin resistant and quinolone resistant).

IT 765286-96-2P 765286-97-3P 765286-98-4P
765286-99-5P 765287-00-1P 765287-01-2P

765287-02-3P 765287-03-4P 765287-04-5P

765287-05-6P 765287-06-7P 765287-18-1P

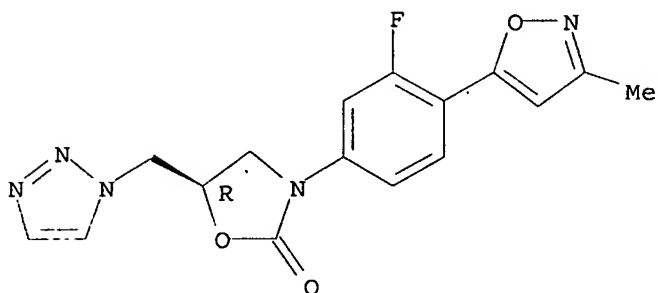
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azolylmethyloxazolidinones as antibacterials)

RN 765286-96-2 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-5-isoxazolyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

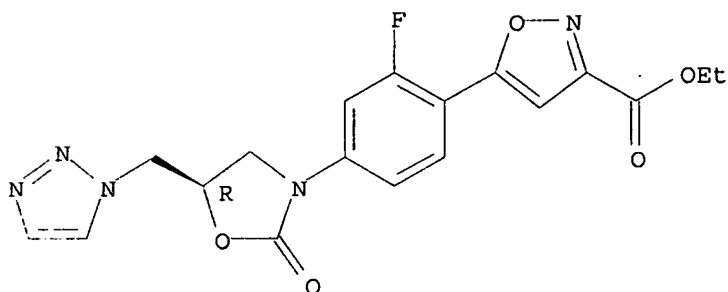
Absolute stereochemistry.



RN 765286-97-3 CAPLUS

CN 3-Isoxazolecarboxylic acid, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

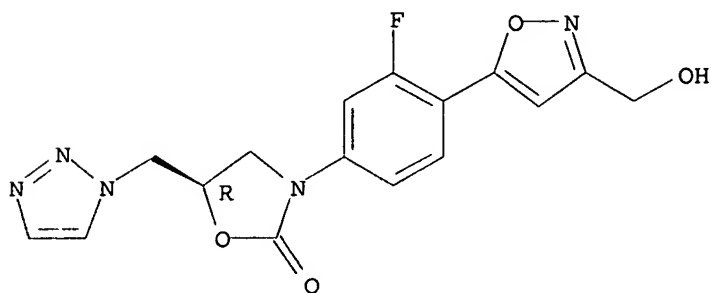
Absolute stereochemistry.



RN 765286-98-4 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-(hydroxymethyl)-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

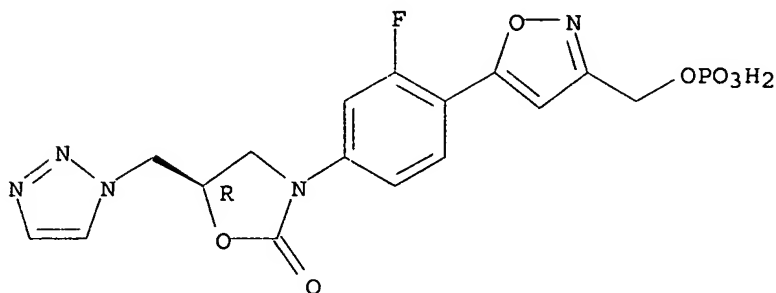
Absolute stereochemistry.



RN 765286-99-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-[(phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

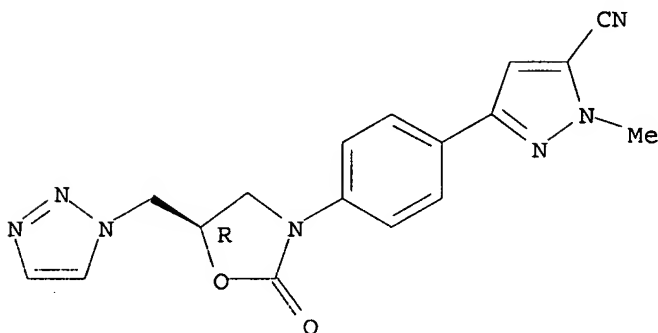
Absolute stereochemistry.



RN 765287-00-1 CAPLUS

CN 1H-Pyrazole-5-carbonitrile, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

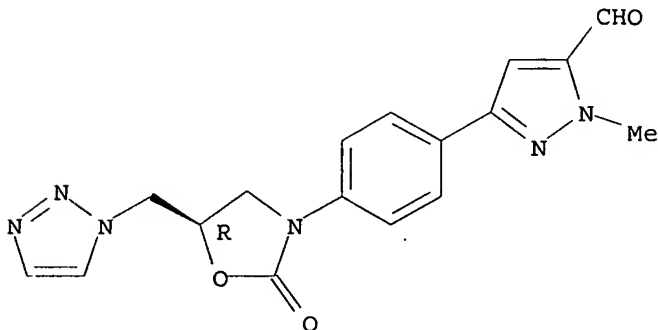
Absolute stereochemistry.



RN 765287-01-2 CAPLUS

CN 1H-Pyrazole-5-carboxaldehyde, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

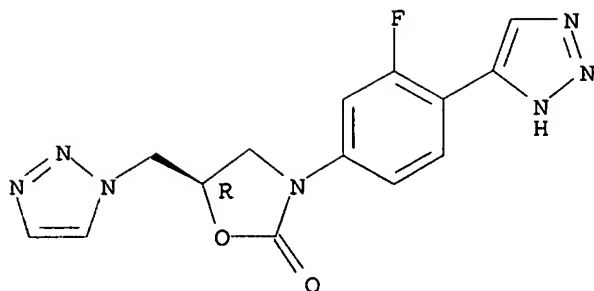
Absolute stereochemistry.



RN 765287-02-3 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

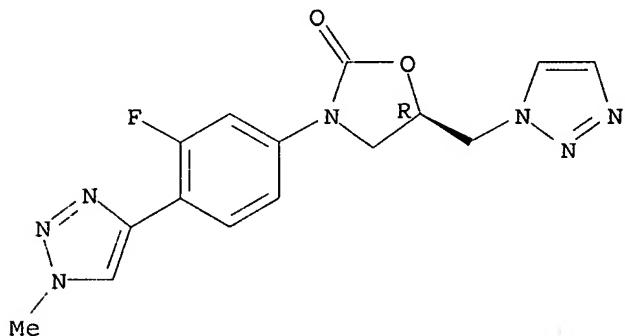
Absolute stereochemistry.



RN 765287-03-4 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-methyl-1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

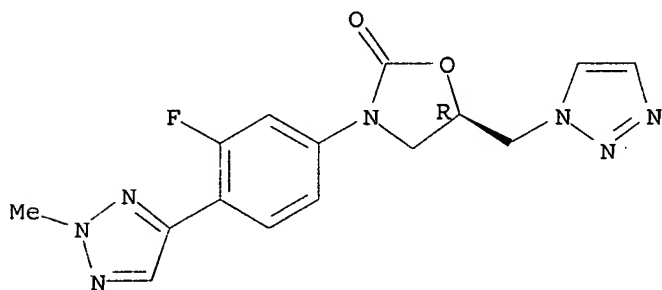
Absolute stereochemistry.



RN 765287-04-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(2-methyl-2H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

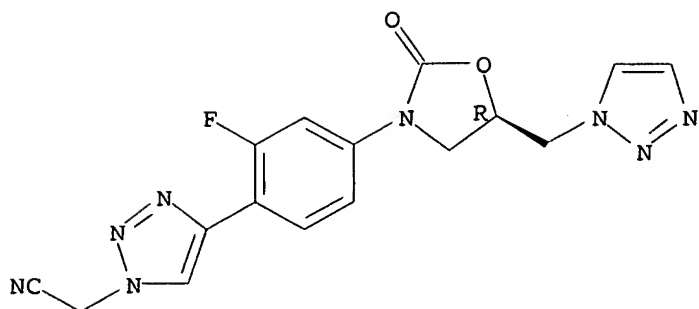
Absolute stereochemistry.



RN 765287-05-6 CAPLUS

CN 1H-1,2,3-Triazole-1-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

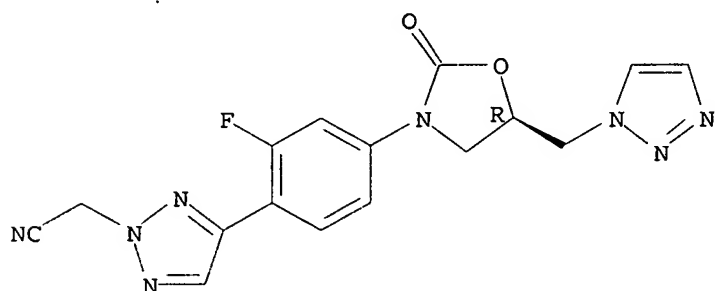
Absolute stereochemistry.



RN 765287-06-7 CAPLUS

CN 2H-1,2,3-Triazole-2-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

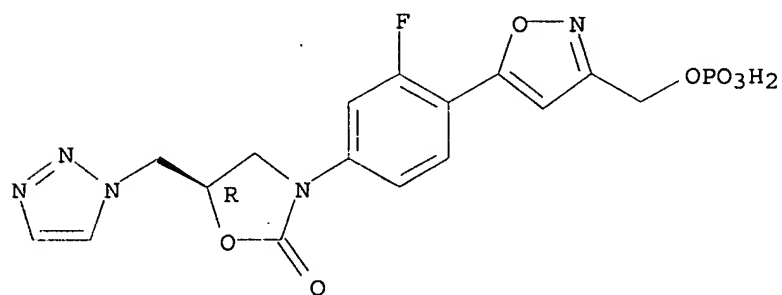
Absolute stereochemistry.



RN 765287-18-1 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-[(phosphonooxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, disodium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 Na

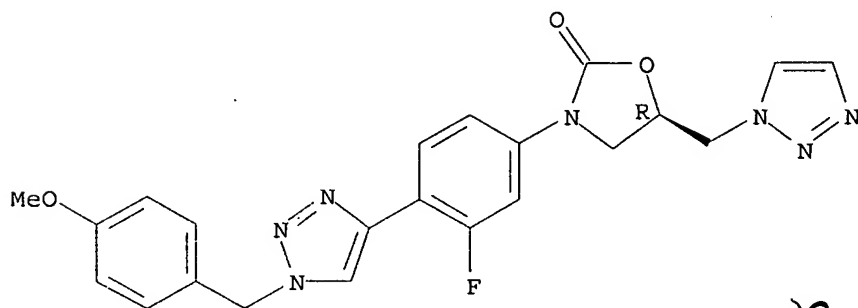
IT 765287-07-8P 765287-15-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azolymethyloxazolidinones as antibacterials)

RN 765287-07-8 CAPLUS

CN Phosphoric acid, bis(1,1-dimethylethyl) [5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Current applications

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:799583 CAPLUS
DOCUMENT NUMBER: 141:314336
TITLE: Preparation of 1,3-oxazolidin-2-one derivatives as
antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck,
Sheila Irene
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

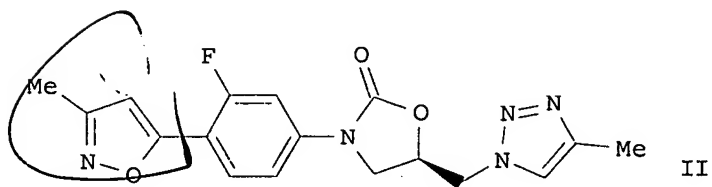
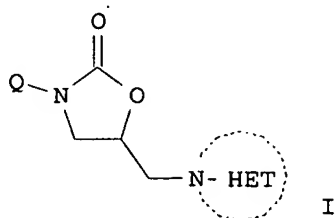
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083205	A1	20040930	WO 2004-GB1119	20040316
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,			

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

EP 1603904 A1 20051214 EP 2004-720912 20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

US 2006084810 A1 20060420 US 2005-550039 20050921
PRIORITY APPLN. INFO.: GB 2003-6358 A 20030320
WO 2004-GB1119 W 20040316

OTHER SOURCE(S): MARPAT 141:314336
GI



AB Title compds. represented by the formula I [wherein N-HET =
(un)substituted 1-pyrazolyl, 1-imidazolyl, 1,2,3-triazol-1-yl, etc.; Q =
(un)substituted heteroaryl, Ph, pyridinyl, thienyl, etc.; and
pharmaceutically acceptable salts or an in-vivo hydrolyzable ester
thereof] were prepd. as MAO-A (mono-amine oxidase) inhibitors. For
example, coupling reaction of (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-methyl-
1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one with
5-(tributylstannyl)-3-methylisoxazole gave II. II showed decreased MAO-A
potency with Ki value of 21 .mu.g/mL. Thus, I and their pharmaceutical
comps. are useful as antibacterial agents.

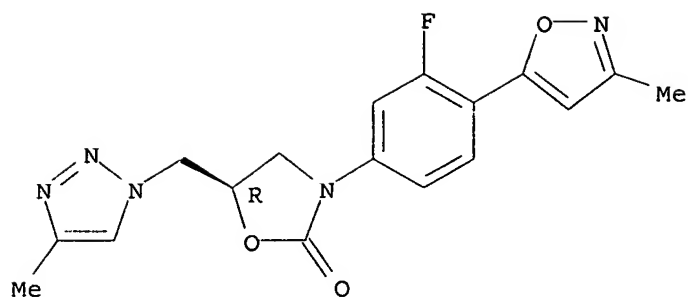
IT 765912-32-1P 765912-34-3P 765912-36-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)

RN 765912-32-1 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-5-isoxazolyl)phenyl]-5-[(4-methyl-
1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

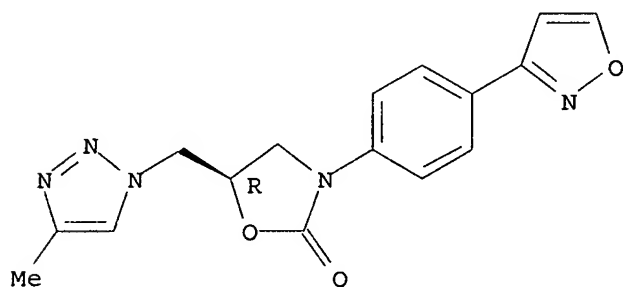
Absolute stereochemistry.



RN 765912-34-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-(3-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

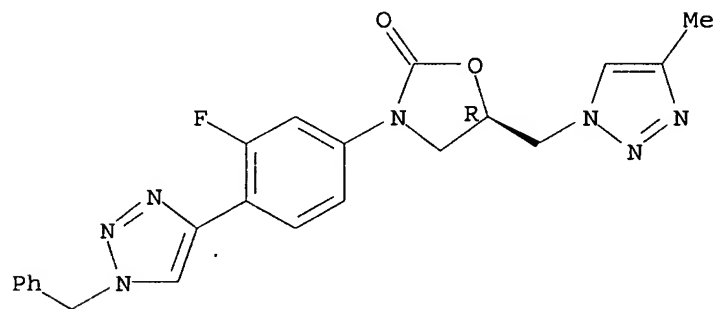
Absolute stereochemistry.



RN 765912-36-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1-(phenylmethyl)-1H-1,2,3-triazol-4-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:550955 CAPLUS

DOCUMENT NUMBER: 141:89124

TITLE: A preparation of oxazolidinone derivatives, useful as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Huynh, Hoan Khai

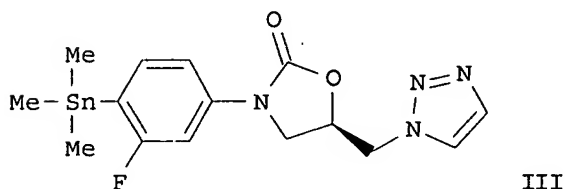
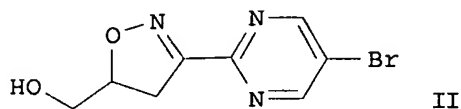
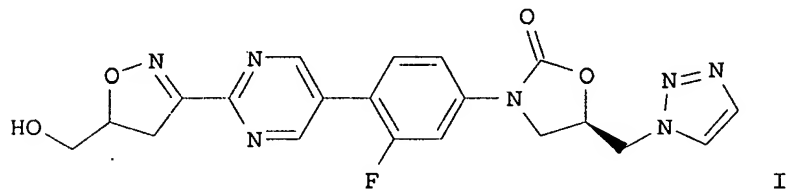
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056817	A1	20040708	WO 2003-GB5448	20031215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003292422	A1	20040714	AU 2003-292422	20031215
EP 1572688	A1	20050914	EP 2003-768000	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512352	T2	20060413	JP 2004-561616	20031215
US 2006058314	A1	20060316	US 2005-539482	20050617
PRIORITY APPLN. INFO.:			GB 2002-29526	A 20021219
OTHER SOURCE(S):			WO 2003-GB5448	W 20031215
GI				



AB The invention relates to a prepn. of oxazolidinone derivs. of formula R1-A-C-B-CH2-R2 [wherein: A and B are independently selected from oxazolidinone or isoxazole derivs.; C is a biaryl group C1-C2 where C1 is benzene-1,4-diyl, thiene-2,5-diyl, or pyridine-2,5-diyl, etc., and C2 is pyridazine-3,6-diyl, pyrazine-2,5-diyl, pyrimidine-2,5-diyl, or

1,3,4-thiadiazole-2,5-diyl, etc.; R1 is CN, C(O), (un)substituted Ph or naphthyl, cycloalkyl, or heteroaryl, etc.; R2 is OH, OSi(trialkyl), or NHC(O)Me, etc.], useful as antibacterial agents. For instance, oxazolidinone deriv. I was prepd. from the obtained bromopyrimidine deriv. II and obtained trimethylstannylphenyloxazole deriv. III in the presence of palladium catalyst. For instance, antibacterial properties of I against several types of bacteria were detd. [MIC(.mu.g/mL): staphylococcus aureus (2), streptococcus pneumoniae (0.25), haemophilus influenza (8)].

IT 716379-02-1P 716379-05-4P 716379-09-8P
716379-12-3P

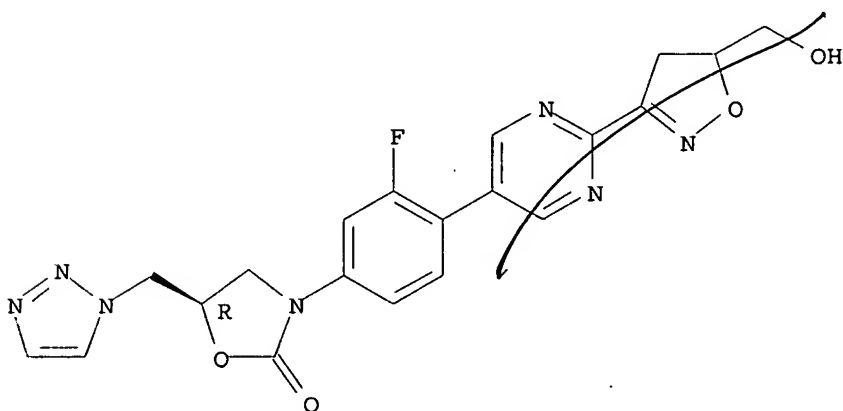
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxazolidinone derivs., useful as antibacterial agents)

RN 716379-02-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[2-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-5-pyrimidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

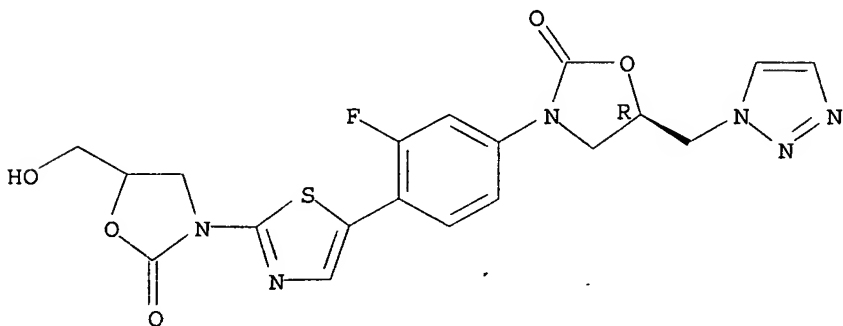
Absolute stereochemistry.



RN 716379-05-4 CAPLUS

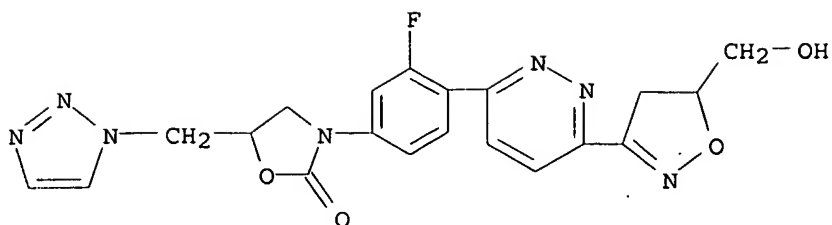
CN 2-Oxazolidinone, 3-[3-fluoro-4-[2-[5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]-5-thiazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 716379-09-8 CAPLUS

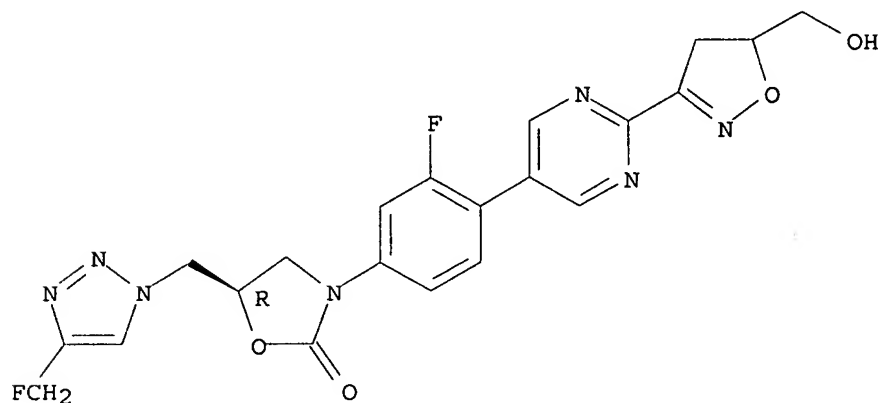
CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 716379-12-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[2-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-5-pyrimidinyl]-3-fluorophenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:292029 CAPLUS

DOCUMENT NUMBER: 140:321158

TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents

INVENTOR(S): Wang, Deping; Sutcliffe, Joyce A.; Oyelere, Adegboyega K.; McConnell, Timothy S.; Ippolito, Joseph A.; Abelson, John N.

PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 363 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029066	A2	20040408	WO 2003-US30478	20030926
WO 2004029066	C1	20040513		
WO 2004029066	A3	20040826		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,

TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003278995	A1	20040419	AU 2003-278995	20030925
US 2005197334	A1	20050908	US 2003-671326	20030925
CA 2500158	AA	20040408	CA 2003-2500158	20030926
EP 1543017	A2	20050622	EP 2003-770506	20030926

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006503848	T2	20060202	JP 2004-540011	20030926
PRIORITY APPLN. INFO.:			US 2002-414207P	P 20020926
			US 2003-448216P	P 20030219
			WO 2003-US30478	W 20030926

OTHER SOURCE(S): MARPAT 140:321158
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

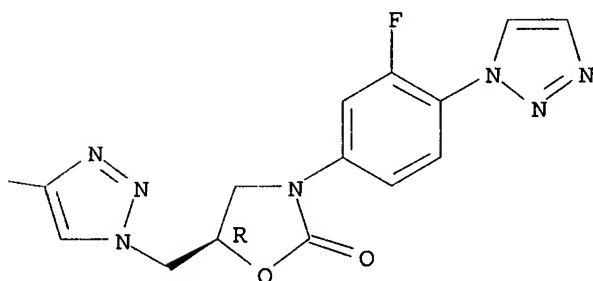
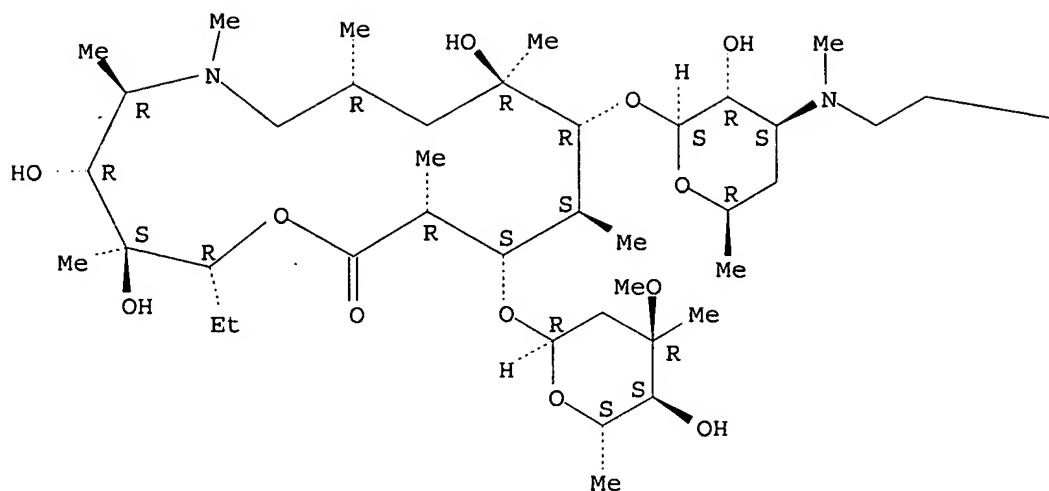
AB The invention provides a family of bifunctional heterocyclic compds., e.g., I [A = C, C(:O), N (with proviso, that at least one A = C); B = O, NR2, S(O)r, C(:O), C(:S), C(:NOR3); p = 0, 1; q = 0, 1; r = 0 - 2; R2 = H, S(O)rR4, CHO, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)satd. or arom. C3-8-carbocycle, (un)satd. or arom. 5 to 10-membered heterocycle (contg. one or more N, S, O); NR2R2 = 5 to 8-membered (un)satd. carbocycle or heterocycle (contg. one or more N, S, O); R3 = H, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-acyl, (un)satd. or arom. C3-8-carbocycle, (un)satd. or arom. 5 to 7-membered heterocycle (contg. one or more N, S, O); NR3R3 = 5 to (un)satd. 7-membered carbocycle or heterocycle (contg. one or more N, S, O); R4 = H, NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C(:O)NR3R3, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or penta-substituted Ph, substituted 4-vinylphenyl; G = C1-4-alkyl, C5-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)satd. or arom. C5-10-carbocycle, (un)satd. or arom. 5 to 10-membered heterocycle (contg. one or more N, S, O); Z = C,N,O,S; dashed line = single or double bond] or a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional heterocyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin deriv. II was prepd. from N-(desmethylethromycin), via N-alkylation with HC.tplbond.CCH2CH2OTs, and cycloaddn. with azide III.

IT 677726-60-2P 677726-62-4P 677726-65-7P
677727-94-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-60-2 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
.alpha.-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[[(5R)-3-[3-
fluoro-4-(1H-1,2,3-triazol-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-
1,2,3-triazol-4-yl]ethyl]methylamino]-.beta.-D-xylo-hexopyranosyl]oxy]-,
(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

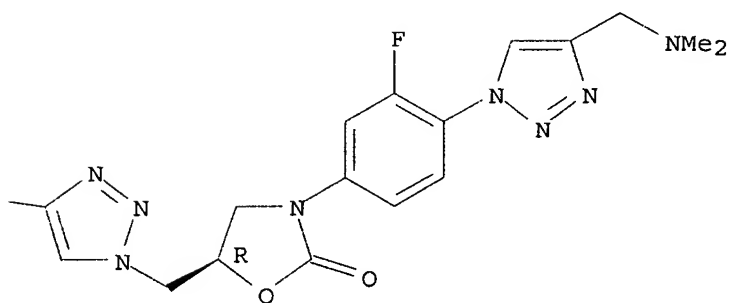
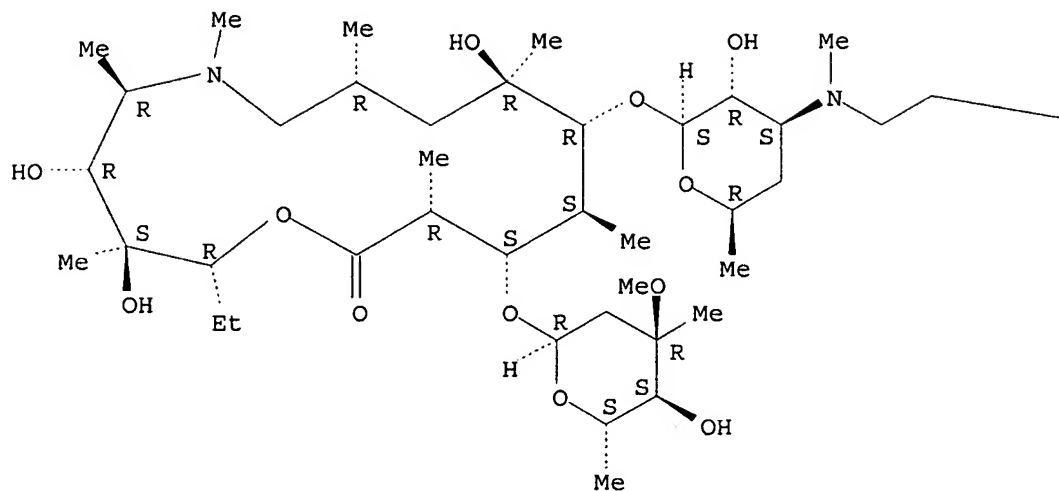
Absolute stereochemistry.



RN 677726-62-4 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
.alpha.-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[[(5R)-3-[4-[4-
[(dimethylamino)methyl]-1H-1,2,3-triazol-1-yl]-3-fluorophenyl]-2-oxo-5-
oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-.beta.-D-
xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA
INDEX NAME)

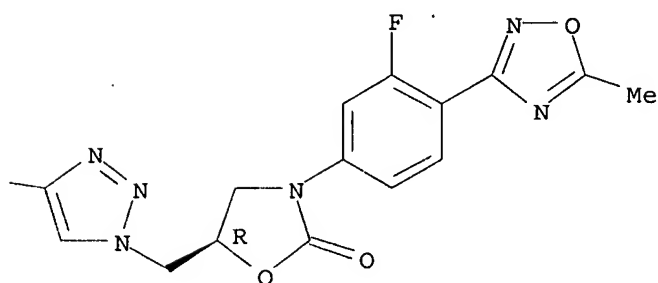
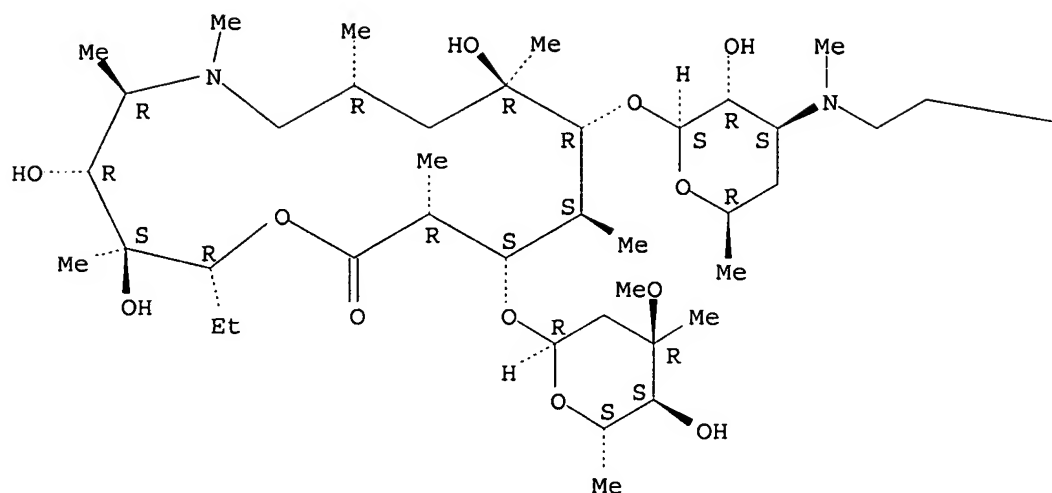
Absolute stereochemistry.



RN 677726-65-7 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
.alpha.-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[[(5R)-3-[3-
fluoro-4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-oxo-5-
oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-.beta.-D-
xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA
INDEX NAME)

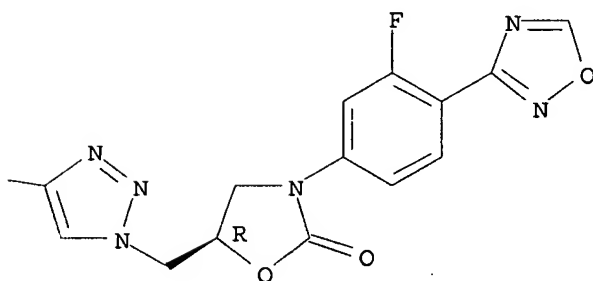
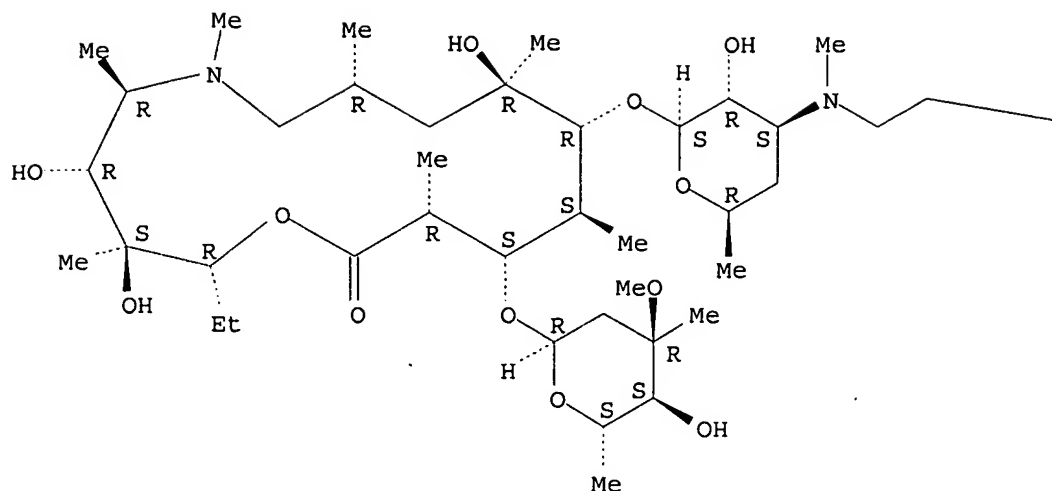
Absolute stereochemistry.



RN 677727-94-5 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
.alpha.-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[(5R)-3-[3-
fluoro-4-(1,2,4-oxadiazol-3-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-
1,2,3-triazol-4-yl]ethyl]methylamino]-.beta.-D-xylo-hexopyranosyl]oxy]-,
(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:696895 CAPLUS

DOCUMENT NUMBER: 139:214459

TITLE: Preparation of 5-azolylmethyl oxazolidinones and their use as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague, Daniel Robert

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072576	A2	20030904	WO 2003-GB791	20030225
WO 2003072576	A3	20031231		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
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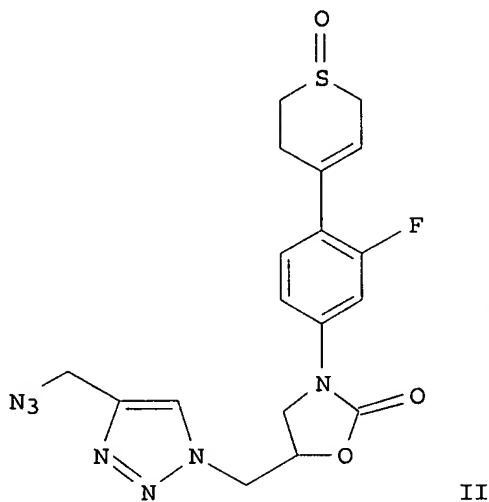
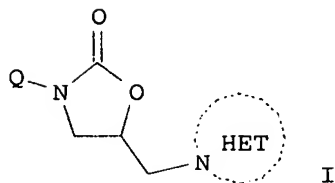
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EP 1480975	A2	20041201	EP 2003-742987	20030225

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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BR 2003008018	A	20050104	BR 2003-8018	20030225
CN 1653064	A	20050810	CN 2003-809160	20030225
US 2005182112	A1	20050818	US 2003-505902	20030225
JP 2005531504	T2	20051020	JP 2003-571282	20030225
ZA 2004006684	A	20050921	ZA 2004-6684	20040823
NO 2004003951	A	20041111	NO 2004-3951	20040921

PRIORITY APPLN. INFO.: US 2002-360688P P 20020228
 WO 2003-GB791 W 20030225

OTHER SOURCE(S): MARPAT 139:214459
 GI

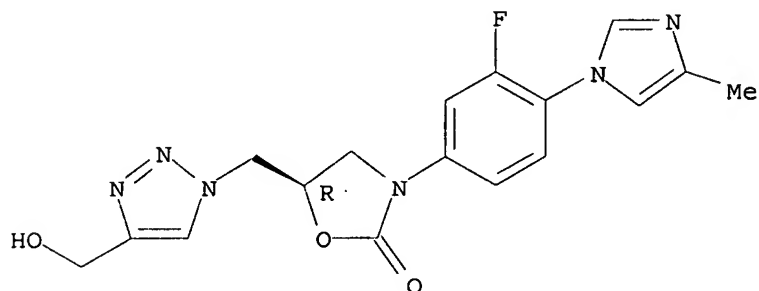


AB 3-Cyclyl-5-[(nitrogen-contg. 5-membered ring)methyl]oxazolidinones (shown as I; e.g. (5R)-3-[4-(1-Oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-azidomethyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (shown as II); -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is, for example, halo or (1-4C)alkyl that is substituted by 1 substituent =, for example, OH, (1-4C)alkoxy, amino, cyano, azido; Q = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S) are useful as antibacterial agents; and processes for their manuf. and pharmaceutical compns. contg. them are described. Compds. I have a good spectrum of activity in vitro against std. organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive *Staphylococcus aureus* and against methicillin resistant and quinolone resistant *Staphylococcus aureus* are 4 and 8 .mu.g/mL, resp. Compds. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolylmethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which

the HET group is unsubstituted. Sixty-one example preps. of I are included. For example, to prep. II, (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[[4-(hydroxymethyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (2.7 mmol) (prepn. given) was suspended in CH₂Cl₂ (10 mL), 1,8-diazabicyclo[5.4.0]undec-7-ene (4.7 mmol) was added and the reaction mixt. was cooled to -5.degree.; diphenylphosphoryl azide (3.25 mmol) was added dropwise and it was stirred for 18 h at room temp.; workup gave 1.02 g of II.

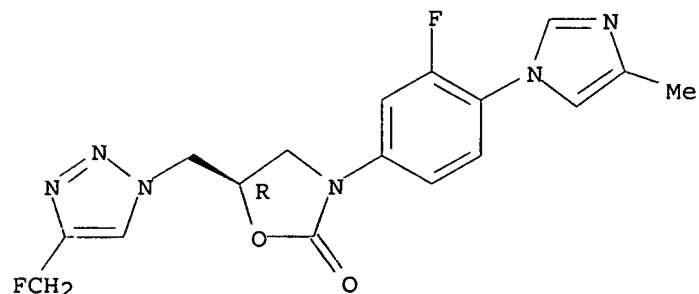
IT 591253-98-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; prepn. of 5-azolylmethyl oxazolidinones and their use as antibacterial agents)
 RN 591253-98-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 591253-97-3P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of 5-azolylmethyl oxazolidinones and their use as antibacterial agents)
 RN 591253-97-3 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

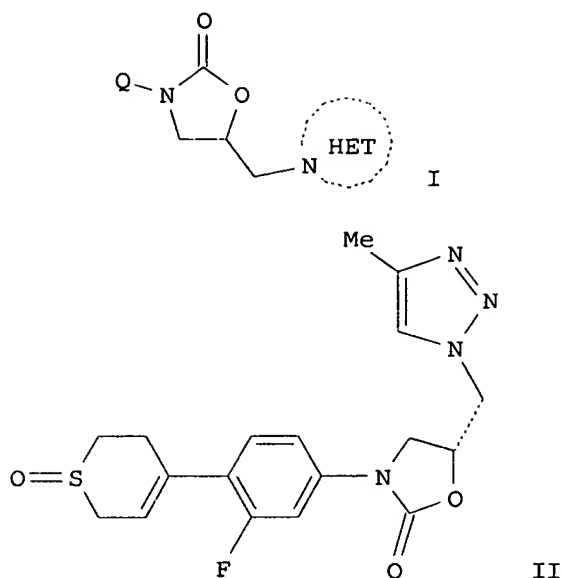
Absolute stereochemistry.



L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:696894 CAPLUS
DOCUMENT NUMBER: 139:214458
TITLE: Preparation of 3-cyclyl-5-[(nitrogen-containing
5-membered ring)methyl]oxazolidinones and their use as
antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck,
Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague,
Daniel Robert; Girardot, Marc Michel
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072575	A1	20030904	WO 2003-GB785	20030225
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003207340	A1	20030909	AU 2003-207340	20030225
BR 2003008056	A	20041207	BR 2003-8056	20030225
EP 1497286	A1	20050119	EP 2003-704812	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005119292	A1	20050602	US 2003-506020	20030225
CN 1649866	A	20050803	CN 2003-809171	20030225
JP 2005524661	T2	20050818	JP 2003-571281	20030225
ZA 2004006812	A	20050912	ZA 2004-6812	20040826
NO 2004003950	A	20041013	NO 2004-3950	20040921
PRIORITY APPLN. INFO.:			US 2002-360957P	P 20020228
			WO 2003-GB785	W 20030225
OTHER SOURCE(S):		MARPAT 139:214458		
GI				



- AB 3-Cyclyl-5-[(nitrogen-contg. 5-membered ring)methyl]oxazolidinones (shown as I; e.g. (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[4-methyl-1,2,3-triazol-1-ylmethyl]oxazolidin-2-one (shown as II); -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is (1-4C)alkyl; Q = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, are useful as antibacterial agents; and processes for their manuf. and pharmaceutical compns. contg. them are described. Compds. I have a good spectrum of activity in vitro against std. organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive *Staphylococcus aureus* and against methicillin resistant and quinolone resistant *Staphylococcus aureus* are 2 and 4 .mu.g/mL, resp., compared to 2 and 2 .mu.g/mL for the ref. compd. without the Me substituent. Compds. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolylmethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Fifty-seven example preps. of intermediates and 44 example preps. of I are included. For example, to prep. II, (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-azidomethyloxazolidin-2-one (1.0 mmol; prepn. described) was mixed with 5,6,7,8-tetrachloro-2,9-dimethyl-1,4-dihydro-1,4-ethenonaphthalene (2.0 mmol) in dry 1,4-dioxane (4 mL) in a sealed microwave reaction tube. The tube was placed in a Smith microwave reactor at 170.degree. for 20 min. The reaction mixt. was then transferred into a round bottom flask and the solvent was removed under vacuum. The residue was purified by chromatog. on silica gel with 5% MeOH in CH2Cl2 to give a mixt. of the 4- and 5-Me regioisomers. This mixt. was further sepd. on a chiral column (chiralcel OD) with iso-PrOH/hexanes (1:1) to give II (74 mg).
- IT 591232-13-2P, (5R)-3-[3-Fluoro-4-(4-bromo-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 591232-15-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1,2,3-triazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 591232-23-4P, (5R)-3-[3-Fluoro-4-(3-methyl-1,2,4-triazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 591232-31-4P, (5R)-3-[3-Fluoro-4-[4-[(hydroxyimino)methyl]imidazol-1-yl]phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one

591232-42-7P, (5R)-3-[3-Fluoro-4-[4-formylimidazol-1-yl]phenyl]-5-
 [(4-pentyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-43-8P
 , (5R)-3-[3-Fluoro-4-[4-(hydroxymethyl)-1H-imidazol-1-yl]phenyl]-5-[(4-
 methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-46-1P,
 (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-
 triazol-1-yl)methyl]oxazolidin-2-one 591232-49-4P,
 (5R)-3-[3-Fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-
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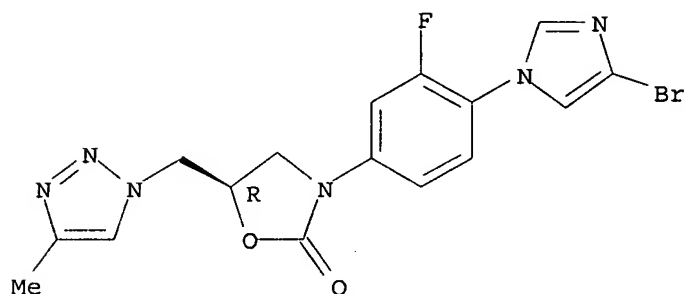
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; prepn. of cyclyl (nitrogen-contg. 5-membered
 ring)methyl oxazolidinones and their use as antibacterial agents)

RN 591232-13-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-(4-bromo-1H-imidazol-1-yl)-3-fluorophenyl]-5-[(4-
 methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

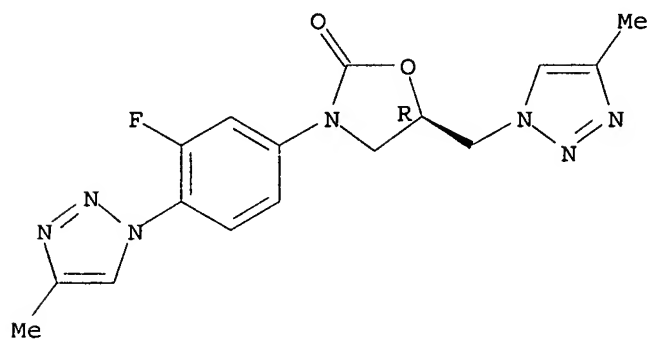
Absolute stereochemistry.



RN 591232-15-4 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-1,2,3-triazol-1-yl)phenyl]-5-
 [(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

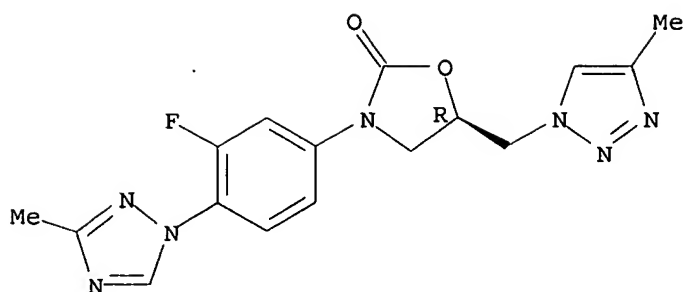
Absolute stereochemistry.



RN 591232-23-4 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-1H-1,2,4-triazol-1-yl)phenyl]-5-
 [(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

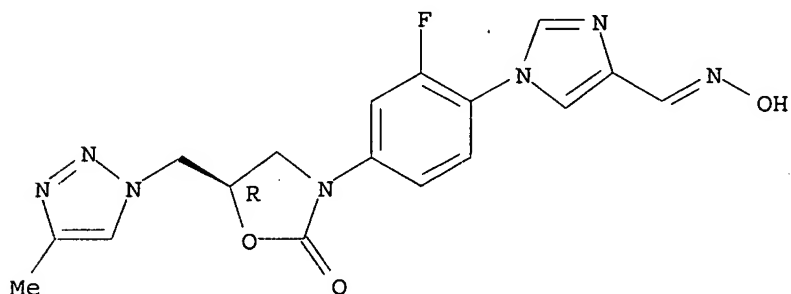
Absolute stereochemistry.



RN 591232-31-4 CAPLUS

CN 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

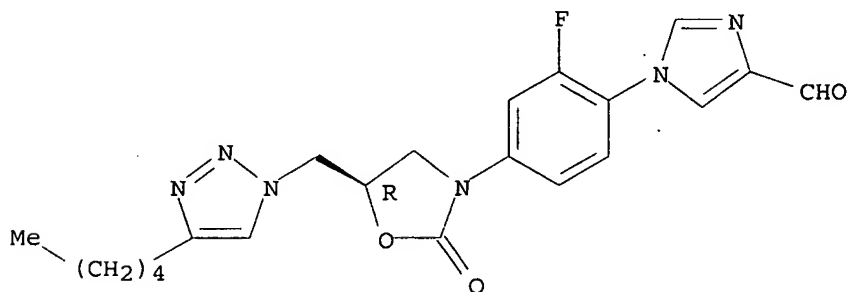
Absolute stereochemistry.
Double bond geometry unknown.



RN 591232-42-7 CAPLUS

CN 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-[(4-pentyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

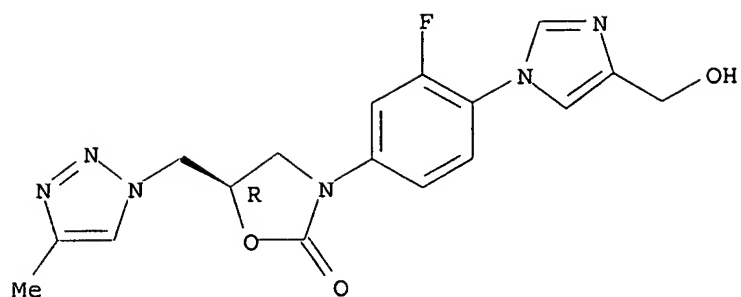
Absolute stereochemistry.



RN 591232-43-8 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(hydroxymethyl)-1H-imidazol-1-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

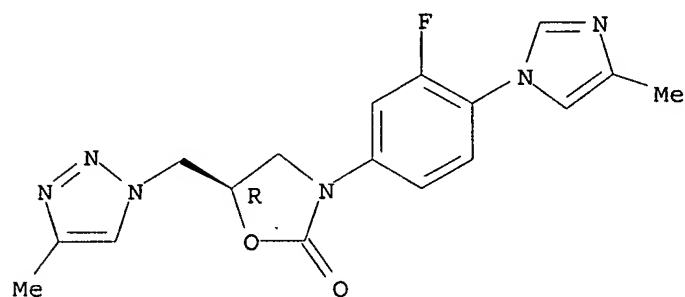
Absolute stereochemistry.



RN 591232-46-1 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

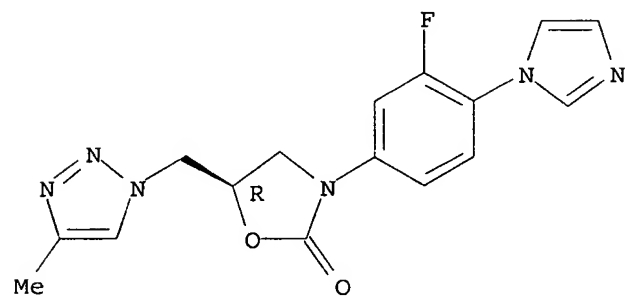
Absolute stereochemistry.



RN 591232-49-4 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

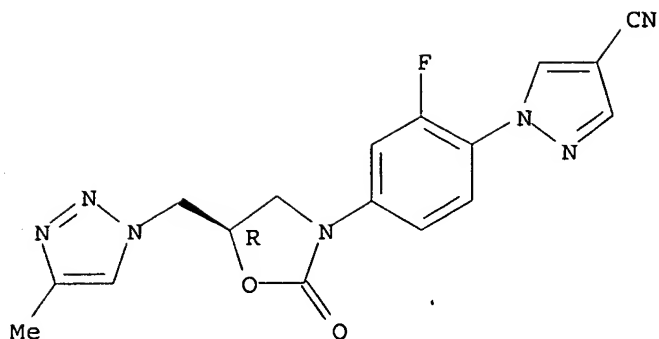
Absolute stereochemistry.



RN 591232-50-7 CAPLUS

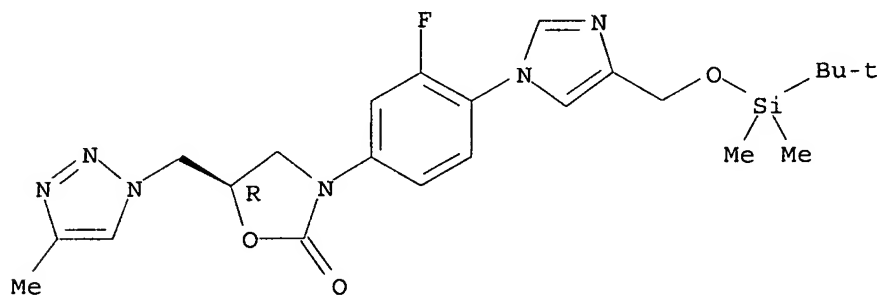
CN 1H-Pyrazole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 591232-44-9P, (5R)-3-[4-[4-[(tert-Butyldimethylsilyloxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of cyclyl (nitrogen-contg. 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
 RN 591232-44-9 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:335104 CAPLUS
 DOCUMENT NUMBER: 138:353972
 TITLE: Preparation of 3-aryloxazolidinones with antibacterial activity
 INVENTOR(S): Gravestock, Michael Barry
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035648	A1	20030501	WO 2002-GB4796	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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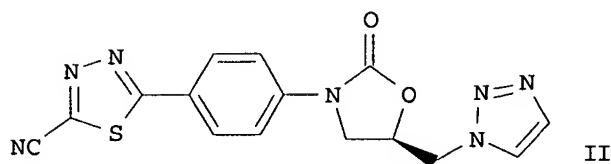
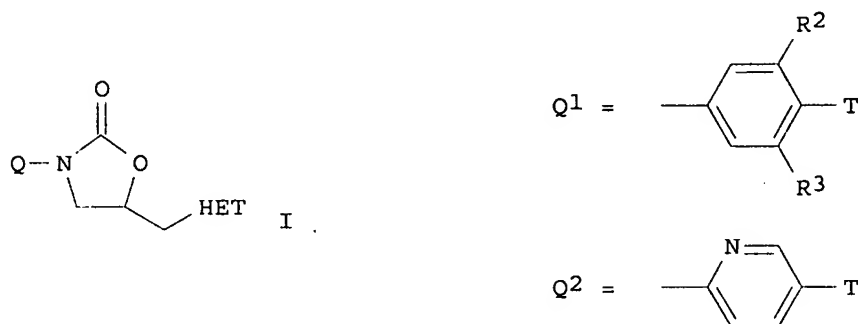
GB 2396350	A1	20040623	GB 2004-8399	20021023
EP 1446403	A1	20040818	EP 2002-770098	20021023
EP 1446403	B1	20060412		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005519870	T2	20050707	JP 2003-538164	20021023
AT 323087	E	20060415	AT 2002-770098	20021023
US 2005043374	A1	20050224	US 2004-493609	20041018

PRIORITY APPLN. INFO.: US 2001-330589P P 20011025
 WO 2002-GB4796 W 20021023

OTHER SOURCE(S): MARPAT 138:353972
 GI



AB Title compds. I [wherein HET = (un)substituted N-linked 5-membered heterocyclic or 6-membered dihydroheteroaryl ring contg. heteroatoms selected from N, O, and S; Q = Q¹, Q², etc.; R² and R³ = independently H or F; T = (un)substituted C-linked 5-membered heteroaryl contg. 1-3 heteroatoms selected from N, O, and S; preferably T = (un)substituted 1,3,4-thiadiazolyl, thiazolyl, 1,3,4-oxadiazolyl, or oxazolyl; and pharmaceutically acceptable salts or hydrolyzable esters thereof] were prepd. as antibacterial agents. For example, (5R)-3-(3-fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one was mesylated and the product converted to the azide. Cyclization of the azide with bicyclo[2.2.1]heptadiene gave the 1,2,3-triazole, which was substituted with hexamethylditin to afford the stannane. Reaction with 5-chloro-1,3,4-thiadiazole-2-carbonitrile in the presence of AsPh₃ and tris(dibenzylidenenacetone)dipalladium in N-methyl-2-pyrrolidinone provided II. The latter inhibited bacterial growth against *Staphylococcus aureus* (methicillin sensitive and quinolone sensitive), *Staphylococcus aureus* (methicillin resistant and quinolone resistant), *Streptococcus pneumoniae*, *Streptococcus pyogenes*, *Haemophilus influenzae*, and *Moraxella*

catarrhalis with MIC values of 0.125 .mu.g/mL, 0.25 .mu.g/mL, 0.125 .mu.g/mL, 0.125 .mu.g/mL, 2 .mu.g/mL, and 0.5 .mu.g/mL, resp.

IT 519003-00-0P, (5R)-3-[3-Fluoro-4-(5-cyano-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
519003-02-2P, (5R)-3-[3-Fluoro-4-(5-ethoxycarbonyl-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
519003-03-3P, (5R)-3-[4-[5-(Aminomethyl)-1,3-thiazol-2-yl]-3-fluorophenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
519003-05-5P, (5R)-3-[3-Fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
519003-11-3P, (5R)-3-[3-Fluoro-4-(4-methyl-1,3-thiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
519003-14-6P, (5R)-3-[3-Fluoro-4-[4-(trifluoromethyl)-1,3-thiazol-2-yl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
519003-16-8P

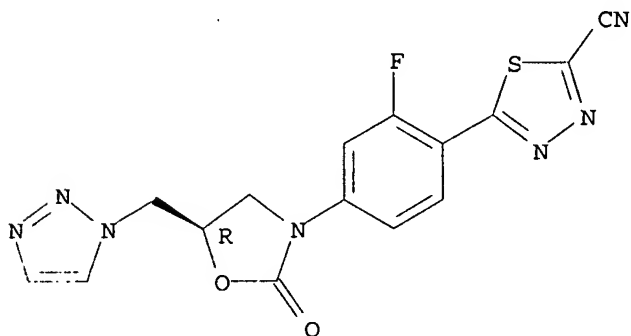
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antibacterial agent; prepn. of (aryl)oxazolidinones as antibacterial agents)

RN 519003-00-0 CAPLUS

CN 1,3,4-Thiadiazole-2-carbonitrile, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

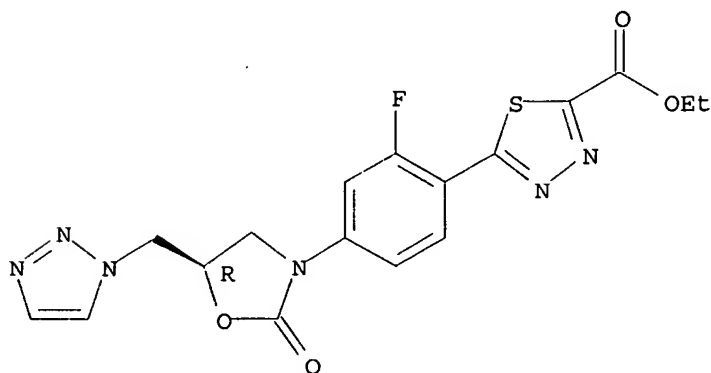
Absolute stereochemistry.



RN 519003-02-2 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

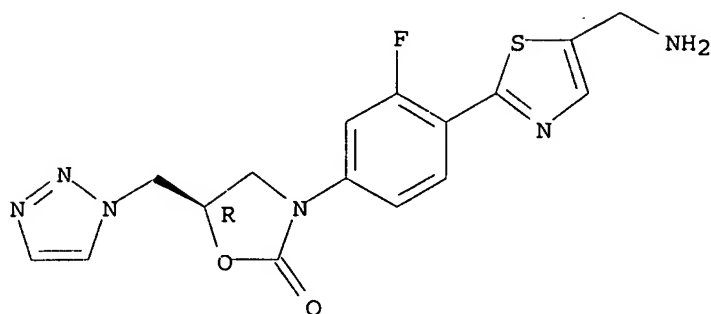


RN 519003-03-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[5-(aminomethyl)-2-thiazolyl]-3-fluorophenyl]-5-(1H-

1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

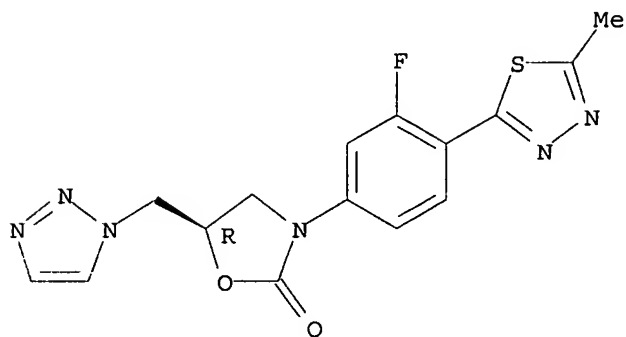
Absolute stereochemistry.



RN 519003-05-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

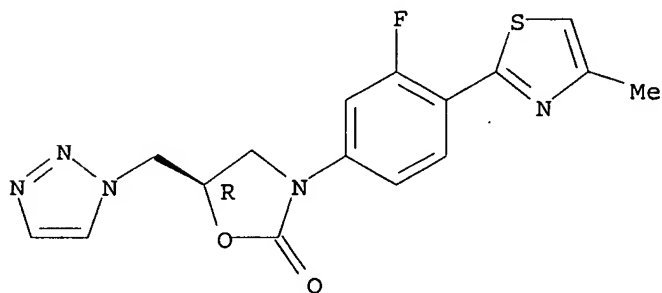
Absolute stereochemistry.



RN 519003-11-3 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-2-thiazolyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

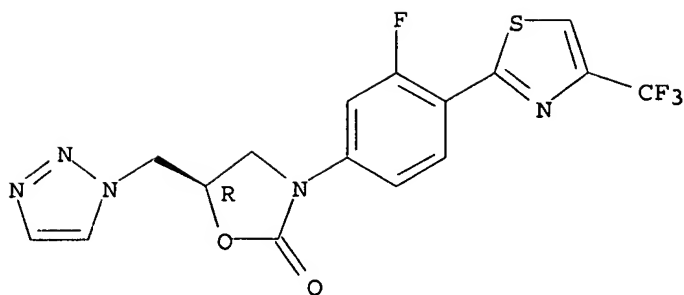
Absolute stereochemistry.



RN 519003-14-6 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(trifluoromethyl)-2-thiazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

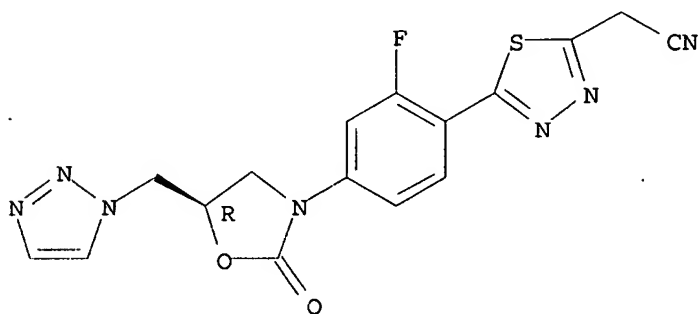
Absolute stereochemistry.



RN 519003-16-8 CAPLUS

CN 1,3,4-Thiadiazole-2-acetonitrile, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



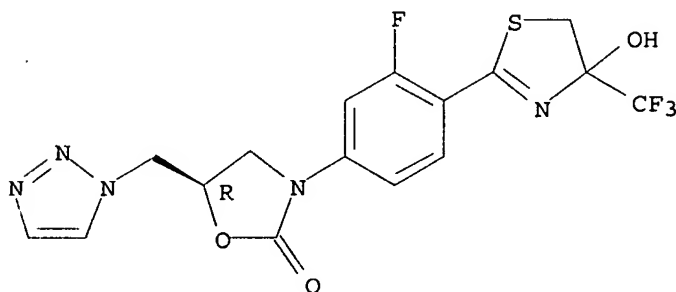
IT 519003-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (aryl)oxazolidinones as antibacterial agents)

RN 519003-15-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[4,5-dihydro-4-hydroxy-4-(trifluoromethyl)-2-thiazolyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:798227 CAPLUS

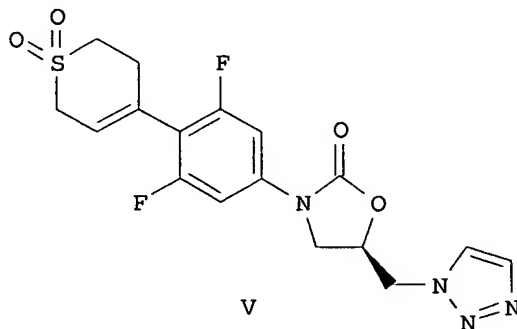
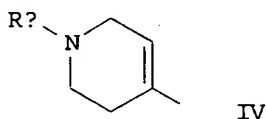
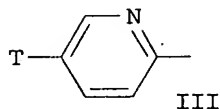
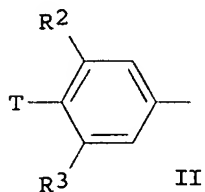
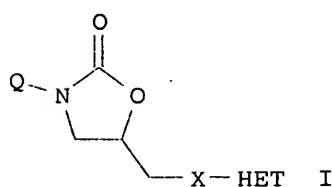
DOCUMENT NUMBER: 135:344473

TITLE: Oxazolidinone derivatives with antibacterial activity

INVENTOR(S): Gravestock, Michael Barry; Betts, Michael John;

PATENT ASSIGNEE(S): Griffin, David Alan; Matthews, Ian Richard
 SOURCE: Astrazeneca AB, Swed.; Astrazeneca UK Limited
 PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081350	A1	20011101	WO 2001-GB1815	20010423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405349	AA	20011101	CA 2001-2405349	20010423
BR 2001010240	A	20030107	BR 2001-10240	20010423
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EP 1286998	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531211	T2	20031021	JP 2001-578439	20010423
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NZ 521765	A	20040528	NZ 2001-521765	20010423
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ES 2220759	T3	20041216	ES 2001-1921669	20010423
AU 781784	B2	20050616	AU 2001-48636	20010423
ZA 2002008187	A	20040211	ZA 2002-8187	20021010
NO 2002005091	A	20021209	NO 2002-5091	20021023
US 2003216373	A1	20031120	US 2003-258355	20030506
HK 1053114	A1	20050218	HK 2003-105394	20030725
PRIORITY APPLN. INFO.:			GB 2000-9803	A 20000425
			WO 2001-GB1815	W 20010423
OTHER SOURCE(S):			MARPAT 135:344473	
GI				



AB The title compds. [I; X = O, NH, S, etc.; HET = (un)substituted C-linked 5-membered heteroaryl ring contg. 2-4 heteroatoms selected from N, O and S, etc.; Q = II, III, etc. (wherein R₂, R₃ = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; R_c = R₁₃CO, R₁₃SO₂, R₁₃CS, etc.; R₁₃ = alkyl, etc.)], useful as antibacterial agents, were prepd. and formulated. E.g., a multi-step synthesis of the oxazoline (R)-V which showed MIC of 0.125 .mu.g/mL against Staphylococcus aureus (Oxford), was given.

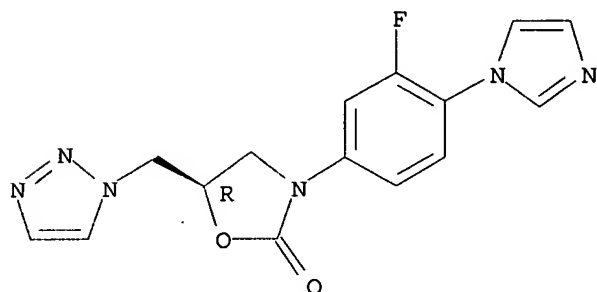
IT 371194-46-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oxazolidinone derivs. with antibacterial activity)

RN 371194-46-6 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT